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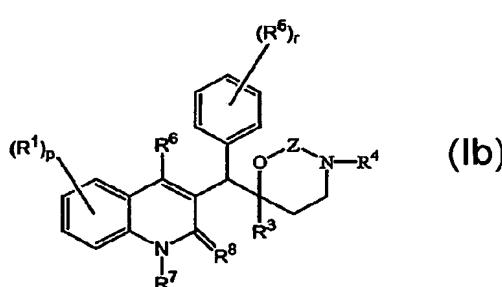
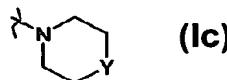
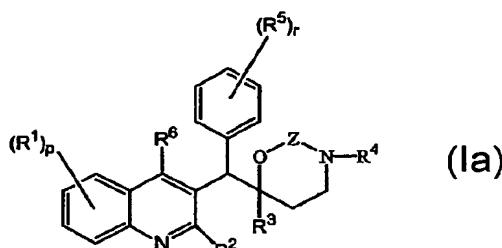
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(54) Title: SUBSTITUTED QUINOLINES AND THEIR USE AS MYCOBACTERIAL INHIBITORS



(57) Abstract: The present invention relates to novel substituted quinoline derivatives according to the general formula (Ia) or the general formula (Ib) salts, quaternary amines, stereochemically isomeric forms, tautomeric forms and N-oxide forms thereof, wherein R¹ is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ; p is 1, 2, 3 or 4 ; R² is hydrogen, hydroxy, thio, alkyloxy, alkyloxyalkyloxy, alkylthio, mono or di(alkyl)amino or a radical of formula (Ic); R³ is alkyl, Ar, Ar-alkyl, Het or Het-alkyl; R⁴ is hydrogen, alkyl or benzyl; R⁵ is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ; or two vicinal R⁵ radicals may be taken

WO 2005/070924 A1 together to form together with the phenyl ring to which they are attached a naphthyl; r is 1, 2, 3, 4 or 5 ; R⁶ is hydrogen, alkyl, Ar or Het R⁶ is hydrogen or alkyl; R⁸ is oxo ; or R⁷ and R⁸ taken together form the radical -CH=CH-N=; Z is CH₂ or C(=O). The claimed compounds are useful for the treatment of mycobacterial diseases, particularly those diseases caused by pathogenic mycobacteria such as *M. tuberculosis*, *M. bovis*, *M. avium*, *M. smegmatis* and *M. marinum*. Also claimed is a pharmaceutical composition containing a compound of the present invention, the use of the claimed compounds or compositions for the manufacture of a medicament for the treatment of mycobacterial diseases and a process for preparing the claimed compounds.



FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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